=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 170.97 571.85

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

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STRUCTURE FILE UPDATES: 23 NOV 2007 HIGHEST RN 955880-04-3 DICTIONARY FILE UPDATES: 23 NOV 2007 HIGHEST RN 955880-04-3

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

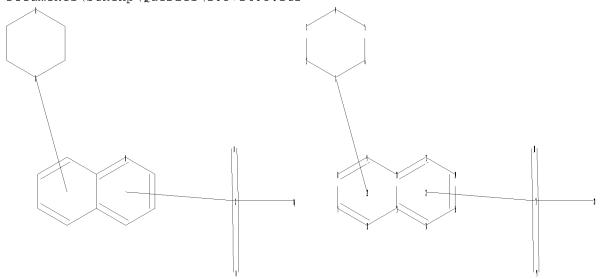
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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Documents\Stnexp\Queries\10571405.str



chain nodes : 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

17-18 17-19 17-20

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 10-13 \quad 11-12 \quad 11-16$

13-14 14-15 15-16 exact/norm bonds:

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-19 17-20

normalized bonds :

7-8 7-12 8-9 9-10 10-11 10-13 11-12 11-16 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom

L10 STRUCTURE UPLOADED

=> s 110

SAMPLE SEARCH INITIATED 20:08:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 552 TO ITERATE

100.0% PROCESSED 552 ITERATIONS 4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9631 TO 12449

PROJECTED ANSWERS: 4 TO 200

L11 4 SEA SSS SAM L10

=> s 110 sss full

FULL SEARCH INITIATED 20:08:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 11320 TO ITERATE

100.0% PROCESSED 11320 ITERATIONS 108 ANSWERS

SEARCH TIME: 00.00.01

L12 108 SEA SSS FUL L10

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 172.55 744.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -7.02

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http://www.cas.org/infopolicy.html

=> s 111

L13 3 L11

=> d 113 1-3 bib abs hitstr

- L13 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:493996 CAPLUS
- DN 145:8187
- TI Preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of $5-\mathrm{HT}6$ receptors
- IN Gee, Antony David; Martarello, Laurent; Johnson, Christopher Norbert; Witty, David R.
- PA Glaxo Group Limited, UK
- SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.CNT 1

1 1111	PATENT NO.						KIND DATE				APPL	ICAT	DATE 20051117					
ΡI	WO 2006053785			A1		20060526		WO 2005-EP12463										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AΖ,	BY,

KG, KZ, MD, RU, TJ, TM CA 2005-2588381 CA 2588381 20060526 20051117 Α1 EP 1824830 20070829 EP 2005-807786 20051117 Α1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR PRAI GB 2004-25548 20041119 WO 2005-EP12463 W 20051117 OS CASREACT 145:8187; MARPAT 145:8187 GΙ

Ι

Piperazine-containing ligands [I; R1 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, AΒ 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; R2 = F; or R1 = C1-4 (fluoro)alkyl and R2 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; e.g., (11C-N-methyl)-3-[(3fluorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)quinoline; 5-HT6 receptor pKi 9.82], which are useful for the labeling and diagnostic imaging of 5-HT6 receptors functionality and the treatment of CNS related disorders, are prepared 607743-50-0 ΙT RL: RCT (Reactant); RACT (Reactant or reagent) (in the preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of 5-HT6 receptors) RN 607743-50-0 CAPLUS CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN L13 ΑN 2005:260030 CAPLUS DN 142:336394 ΤI Preparation of 8-(1-piperazinyl) quinolines for treatment of CNS disorders Johnson, Christopher Norbert; Witty, David R. INGlaxo Group Limited, UK PA SO PCT Int. Appl., 33 pp. CODEN: PIXXD2 DT Patent English LA FAN.CNT 1 APPLICATION NO. PATENT NO. KIND DATE DATE ____ _____ WO 2005026125 20050324 WO 2004-EP10129 20040909 PΙ Α1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1663980

A1 20060607

EP 2004-765057

20040909

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR

JP 2007505075

T 20070308

JP 2006-525773

20040909

US 2006287334 A1 20061221 US 2006-571405 20060310 PRAI GB 2003-21473 A 20030912

WO 2004-EP10129 W 20040909 OS CASREACT 142:336394; MARPAT 142:336394

GΙ

AB Title compds. I [R1 = (un)substituted alkyl, alkylcycloalkyl, alkoxyalkyl, alkyl(hetero)aryl, alkylheterocyclyl; R2 = H or alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; R3-R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs.; n = 1 - 3; p = 1-2; and their pharmaceutically acceptable salts] were prepared as 5HT6 receptor antagonists in treatment of CNS disorders. Thus, condensation of 3-phenylsulfonyl-8-(piperazin-1-yl)quinoline (preparation given) with 4-fluorobenzaldehyde gave II. I were tested and showed good affinity for the 5-HT6 receptor, having pKi values \geq 7.0 at human cloned 5-HT6 receptors.

IT 848396-04-3P, 8-(4-Cyclopropylmethylpiperazin-1-yl)-3 phenylsulfonylquinoline hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders) $\rm RN - 848396-04-3 - CAPLUS$

CN Quinoline, 8-[4-(cyclopropylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L13 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:777764 CAPLUS
- DN 139:292163
- TI Preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders
- IN Ahmed, Mahmood; Johnson, Christopher Norbert; Jones, Martin C.; MacDonald, Gregor James; Moss, Stephen Frederick; Thompson, Mervyn; Wade, Charles Edward; Witty, David
- PA Glaxo Group Limited, UK

SO PCT Int. Appl., 48 pp. CODEN: PIXXD2 Patent DT LA English FAN.CNT 1 KIND DATE APPLICATION NO. _____ ____

 WO 2003080580
 A2 20031002

 WO 2003080580
 A3 20040205

 WO 2003-EP3197 20030325 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2479786 A1 20031002 CA 2003-2479786 20030325 AU 2003219103 A1 20031008 AU 2003-219103 20030325 EP 1497266 A2 20050119 EP 2003-714889 20030325 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK OS MARPAT 139:292163 GΙ

$$(R^2)_m$$
 $(CH_2)_p$
 $(R^3)_n$
 $(R^3)_n$
 $(R^4)_m$
 $(R^5)_m$

ΙT

AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)2-4; R3-R5 = H, halogen, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, (un)substituted CONH2; A = (un)substituted aryl; m = 1-4; n = 1-3, p = 1, 2] were prepared for use as HT6 receptor antagonists in treatment of CNS disorders. Thus, 8-iodo-3-phenylsulfonylquinoline was prepared from 8-nitroquinoline and was treated with 1-tert.-butoxycarbonylpiperazine, followed by deblocking, to give 3-phenylsulfonyl-8-piperazinoquinoline.

607742-63-2P 607742-78-9P 607743-50-0P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

RN 607742-63-2 CAPLUS

CN Quinoline, 7-chloro-3-(phenylsulfonyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607743-50-0 CAPLUS CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX

NAME)

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 19.57 763.97 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE -2.34-9.36

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(FILE 'HOME' ENTERED AT 18:18:31 ON 25 NOV 2007)

FILE 'REGISTRY' ENTERED AT 18:19:07 ON 25 NOV 2007 STRUCTURE UPLOADED

L2 1 S L1

L3 416 S L1 SSS FULL

L1

FILE 'CAPLUS' ENTERED AT 18:20:37 ON 25 NOV 2007 L4 369 S L3

FILE 'REGISTRY' ENTERED AT 18:20:54 ON 25 NOV 2007

FILE 'REGISTRY' ENTERED AT 18:25:33 ON 25 NOV 2007

L5 STRUCTURE UPLOADED

L6 67 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:26:54 ON 25 NOV 2007

L7 9 S L6

FILE 'CAOLD' ENTERED AT 18:27:33 ON 25 NOV 2007

L8 0 S L6

FILE 'CHEMCATS' ENTERED AT 18:27:43 ON 25 NOV 2007

L9 84 S L6

FILE 'REGISTRY' ENTERED AT 20:08:01 ON 25 NOV 2007

L10 STRUCTURE UPLOADED

L11 4 S L10

L12 108 S L10 SSS FULL

FILE 'CAPLUS' ENTERED AT 20:09:03 ON 25 NOV 2007

L13 3 S L11

FILE 'CAOLD' ENTERED AT 20:13:38 ON 25 NOV 2007

=> s 112

L14 0 L12

=> file chemcats

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 0.45 764.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION
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=> s 112

L15 0 L12

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COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
765.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

0.00

-9.36

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FILE 'REGISTRY' ENTERED AT 18:19:07 ON 25 NOV 2007

STRUCTURE UPLOADED

L1 STRUCTUR L2 1 S L1

L3 416 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:20:37 ON 25 NOV 2007 L4 369 S L3

FILE 'REGISTRY' ENTERED AT 18:20:54 ON 25 NOV 2007

L5 L6	FILE	'REGISTRY' ENTERED AT 18:25:33 ON 25 NO STRUCTURE UPLOADED 67 S L5 SSS FULL	OV 2007										
L7	FILE	'CAPLUS' ENTERED AT 18:26:54 ON 25 NOV 9 S L6	2007										
L8	FILE	'CAOLD' ENTERED AT 18:27:33 ON 25 NOV 2 0 S L6	2007										
L9	FILE	'CHEMCATS' ENTERED AT 18:27:43 ON 25 NO 84 S L6	OV 2007										
L10 L11 L12		'REGISTRY' ENTERED AT 20:08:01 ON 25 NO STRUCTURE UPLOADED 4 S L10 108 S L10 SSS FULL	OV 2007										
L13	FILE	'CAPLUS' ENTERED AT 20:09:03 ON 25 NOV 3 S L11	2007										
L14	FILE	'CAOLD' ENTERED AT 20:13:38 ON 25 NOV 2 0 S L12	2007										
L15	FILE	'CHEMCATS' ENTERED AT 20:13:52 ON 25 NO 0 S L12	DV 2007										
	FILE	'REGISTRY' ENTERED AT 20:14:00 ON 25 NO	DV 2007										
	=> s l12 and caplus/lc 55615820 CAPLUS/LC L16 108 L12 AND CAPLUS/LC												
		ot 116 0 L12 NOT L16											
	ile ca IN U.	aplus S. DOLLARS	SINCE FILE										
-		MATED COST		772.55									
DISCO	DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE SINCE FILE ENTRY SESSION -9.36												

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FILE 'REGISTRY' ENTERED AT 18:19:07 ON 25 NOV 2007

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 416 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:20:37 ON 25 NOV 2007 L4 369 S L3

FILE 'REGISTRY' ENTERED AT 18:20:54 ON 25 NOV 2007

FILE 'REGISTRY' ENTERED AT 18:25:33 ON 25 NOV 2007

L5 STRUCTURE UPLOADED

L6 67 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:26:54 ON 25 NOV 2007 L7 9 S L6

9 5 10

FILE 'CAOLD' ENTERED AT 18:27:33 ON 25 NOV 2007

L8 0 S L6

FILE 'CHEMCATS' ENTERED AT 18:27:43 ON 25 NOV 2007

L9 84 S L6

FILE 'REGISTRY' ENTERED AT 20:08:01 ON 25 NOV 2007

L10 STRUCTURE UPLOADED

L11 4 S L10

L12 108 S L10 SSS FULL

FILE 'CAPLUS' ENTERED AT 20:09:03 ON 25 NOV 2007

L13 3 S L11

FILE 'CAOLD' ENTERED AT 20:13:38 ON 25 NOV 2007

L14 0 S L12

FILE 'CHEMCATS' ENTERED AT 20:13:52 ON 25 NOV 2007

L15 0 S L12

FILE 'REGISTRY' ENTERED AT 20:14:00 ON 25 NOV 2007

L16 108 S L12 AND CAPLUS/LC

L17 0 S L12 NOT L16

10/571405

FILE 'CAPLUS' ENTERED AT 20:17:16 ON 25 NOV 2007

=> s 112 L18 7 L12

=> d 118 1-7 bib abs hitstr

L18 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:410374 CAPLUS

DN 146:402011

TI Process for preparation of 8-amino-3-phenylsulfonylquinolines from 8-fluoro-3-phenylsulfonylquinoline and amines in the presence of base and solvent.

IN Wade, Charles Edward

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 26pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r An .	PATEN	T NO.	KIND DATE				APPL	ICAT	DATE									
ΡI	WO 20	WO 2007039238			A1		20070412		WO 2006-EP9460						20060926			
	W	W: AE, AG, AL,		AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN	, co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE	, GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,	
		KR	, KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	
		MW	, MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	
		RU	, SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
		UA	, UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
	R	W: AT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS	, IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF	, CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM	, KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG	, KΖ,	MD,	RU,	ΤJ,	TM											
PRAI	GB 20	05-19	Α		2005	0928												
OS CASREACT 146:402011; MARPAT 146:402011 GI																		

AB Title compds. [I; R1, R2 = H, alkyl; NR1R2 = (substituted) 4-7 membered heterocyclyl], were prepared by reaction of 8-fluoro-3-phenylsulfonylquinoline with R1R2NH (variables as above) in the presence of base and solvent. Thus, 8-fluoro-3-phenylsulfonylquinoline (preparation given), piperazine, and K2CO3 were heated together in n-propanol at 100° for 23 h to give 86% 3-phenylsulfonyl-8-piperazin-1-ylquinoline. Polymorphic forms II and III of the latter were prepared via

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN 2006:493996 CAPLUS AN 145:8187 DN Preparation of isotopomeric piperazine-containing ligands labeling and ΤI diagnostic imaging of 5-HT6 receptors INGee, Antony David; Martarello, Laurent; Johnson, Christopher Norbert; Witty, David R. PΑ Glaxo Group Limited, UK SO PCT Int. Appl., 17 pp. CODEN: PIXXD2 DTPatent LA English FAN.CNT 1

	PATENT :	KIN	D	DATE		APPLICATION NO.						DATE						
ΡI	I WO 2006053785				A1	_	20060526		WO 2005-EP12463						20051117			
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM											
CA 2588381					A1		2006	0526	(CA 2	005-		20051117					

EP 1824830 20070829 EP 2005-807786 20051117 Α1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR PRAI GB 2004-25548 20041119 Α WO 2005-EP12463 W 20051117 CASREACT 145:8187; MARPAT 145:8187 OS GΙ

Ι

Piperazine-containing ligands [I; R1 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, AΒ 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; R2 = F; or R1 = C1-4 (fluoro) alkyl and R2 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; e.g., (11C-N-methyl)-3-[(3fluorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)quinoline; 5-HT6 receptor pKi 9.82], which are useful for the labeling and diagnostic imaging of 5-HT6 receptors functionality and the treatment of CNS related disorders, are prepared 607743-50-0 ΙT RL: RCT (Reactant); RACT (Reactant or reagent) (in the preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of 5-HT6 receptors) RN 607743-50-0 CAPLUS CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX

NAME)

IT 887923-36-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of 5-HT6 receptors)

RN 887923-36-6 CAPLUS

CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-[4-(methyl-11C)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:395276 CAPLUS

DN 142:430310

TI Process for the preparation of a crystal polymorphic form of 3-phenylsulfonyl-8-piperazin-1-ylquinoline

IN Gladwin, Asa Elisabeth

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DT Patent

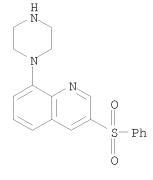
LA English

FAN.CNT 1

r AN.	PATENT	KIND DATE			APPLICATION NO.							DATE						
ΡI	WO 2005040124			A1		20050506		1	WO 2004-EP10843					20040923				
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN,	TD,	ΤG														
	AU 2004283805 CA 2540022						2005	0506		AU 2	004-	2838	05		20040923			
							20050506 CA 2004-2540022							20040923				

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EP 1667975
                       A1 20060614 EP 2004-765655
                                                                20040923
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
                      A 20061101 CN 2004-80027527
    CN 1856471
                                                              20040923
    BR 2004014678
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                                                               20040923
    JP 2007506702
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                             20070322 JP 2006-527373
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20070817 IN 2006-DN970
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                       Α
                                                               20060224
                       A1 20070208 US 2006-572670
A 20060608 MX 2006-PA3375
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                                                                20060324
    KR 2007020372
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                             20060424 NO 2006-1791
                                                                20060424
PRAI GB 2003-22629
                       Α
                             20030926
    WO 2004-EP10843
                             20040923
                       W
OS
    CASREACT 142:430310
    Polymorphic crystalline forms of 3-phenylsulfonyl-8-piperazin-1-ylquinoline are
AΒ
    synthesized, characetrized, and claimed in the treatment of CNS (e.g.,
    schizophrenia) and other disorders.
    607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline
ΤT
    RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
       (process for the preparation of a crystal polymorphic form of
       3-phenylsulfonyl-8-piperazin-1-ylquinoline)
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Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)



607742-69-8 CAPLUS

RN

CN

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN 2005:260030 CAPLUS AN 142:336394 DN ΤI Preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders Johnson, Christopher Norbert; Witty, David R. INPAGlaxo Group Limited, UK PCT Int. Appl., 33 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _____ _____ PΙ WO 2005026125 A1 20050324 WO 2004-EP10129 20040909

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
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     EP 1663980
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             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
                                20070308
                                            JP 2006-525773
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                          Τ
                                                                    20040909
     US 2006287334
                                            US 2006-571405
                                20061221
                                                                    20060310
                          Α1
PRAI GB 2003-21473
                                20030912
                          Α
     WO 2004-EP10129
                                20040909
                          W
OS
     CASREACT 142:336394; MARPAT 142:336394
GΙ
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AB Title compds. I [R1 = (un)substituted alkyl, alkylcycloalkyl, alkoxyalkyl, alkyl(hetero)aryl, alkylheterocyclyl; R2 = H or alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; R3-R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs.; n = 1 - 3; p = 1-2; and their pharmaceutically acceptable salts] were prepared as 5HT6 receptor antagonists in treatment of CNS disorders. Thus, condensation of 3-phenylsulfonyl-8-(piperazin-1-yl)quinoline (preparation given) with 4-fluorobenzaldehyde gave II. I were tested and showed good affinity for the 5-HT6 receptor, having pKi values ≥ 7.0 at human cloned 5-HT6 receptors.

II 848396-13-4P, 8-[4-(4-Fluorobenzyl)piperazin-1-yl]-3-

phenylsulfonylquinoline RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

ΙT 848396-03-2P, 8-[4-(4-Fluorobenzyl)piperazin-1-yl]-3phenylsulfonylquinoline hydrochloride 848396-04-3P, 8-(4-Cyclopropylmethylpiperazin-1-yl)-3-phenylsulfonylquinoline hydrochloride 848396-05-4P, 8-[4-(Cyclohexyl)piperazin-1-yl]-3phenylsulfonylquinoline hydrochloride 848396-07-6P, 8-(4-Cyclopentylpiperazin-1-yl)-3-phenylsulfonylquinoline hydrochloride 848396-08-7P, 8-(4-Cyclobutylpiperazin-1-yl)-3phenylsulfonylquinoline hydrochloride 848396-09-8P, 8-(4-Cyclopropylpiperazin-1-yl)-3-phenylsulfonylquinoline hydrochloride 848396-11-2P, 8-[4-(2-Methoxyethyl)piperazin-1-yl]-3phenylsulfonylquinoline hydrochloride 848396-12-3P, 8-[4-(2,2,2-Trifluoroethyl)piperazin-1-yl]-3-(4fluorophenylsulfonyl)quinoline 848396-14-5P, 8-(4-Cyclopropylmethylpiperazin-1-yl)-3-phenylsulfonylquinoline 848396-15-6P, 8-[4-(Cyclohexyl)piperazin-1-yl]-3phenylsulfonylquinoline 848396-16-7P, 8-(4-Cyclopentylpiperazin-1-yl)-3-phenylsulfonylquinoline 848396-17-8P, 8-(4-Cyclobutylpiperazin-1-yl)-3-phenylsulfonylquinoline 848396-18-9P, 8-(4-Cyclopropylpiperazin-1-yl)-3phenylsulfonylquinoline 848396-19-0P, 8-[4-(2-Methoxyethyl)piperazin-1-yl]-3-phenylsulfonylquinoline RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders) RN 848396-03-2 CAPLUS CN Quinoline, 8-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-3-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848396-04-3 CAPLUS
CN Quinoline, 8-[4-(cyclopropylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848396-05-4 CAPLUS
CN Quinoline, 8-(4-cyclohexyl-1-piperazinyl)-3-(phenylsulfonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

10/571405

● HCl

● HCl

RN 848396-08-7 CAPLUS
CN Quinoline, 8-(4-cyclobutyl-1-piperazinyl)-3-(phenylsulfonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

● HCl

● HCl

RN 848396-12-3 CAPLUS
CN Quinoline, 3-[(4-fluorophenyl)sulfonyl]-8-[4-(2,2,2-trifluoroethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 848396-14-5 CAPLUS
CN Quinoline, 8-[4-(cyclopropylmethyl)-1-piperazinyl]-3-(phenylsulfonyl)(CA INDEX NAME)

RN 848396-15-6 CAPLUS

CN Quinoline, 8-(4-cyclohexyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 848396-16-7 CAPLUS

CN Quinoline, 8-(4-cyclopentyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 848396-17-8 CAPLUS

CN Quinoline, 8-(4-cyclobutyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 848396-18-9 CAPLUS

CN Quinoline, 8-(4-cyclopropyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 848396-19-0 CAPLUS

CN Quinoline, 8-[4-(2-methoxyethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

10/571405

RN 607742-55-2 CAPLUS
CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-69-8 CAPLUS CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

10/571405

RN 607743-10-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 607743-42-0 CAPLUS

CN Quinoline, 8-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:216810 CAPLUS

DN 142:298134

TI Preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders

IN Johnson, Christopher Norbert; Moss, Stephen Frederick; Tait, Malcolm M.;
Witty, David R.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 APPLICATION NO. DATE WO 2005021530 A1 20051 PATENT NO. KIND DATE ______ A1 20050310 WO 2004-EP9724 20040826 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1660483 Α1 20060531 EP 2004-764687 20040826 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR JP 2007504114 T 20070301 JP 2006-524347 PRAI GB 2003-20320 Α 20030829 WO 2004-EP9724 W 20040826 MARPAT 142:298134 OS GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, (un)substituted cyclo/alkyl, alkylaryl, alkylheteroaryl, alkylheterocyclyl; R2 = H, alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; when R1 = alkyl, R1 may optionally be linked to R2 to form a (CH2)2, (CH2)3 or (CH2)4 group; R3, R4, R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs.; n = 1 - 3; X = (CH2)p; p = 1-2; Ra = H, alk(en)yl, alkyl/cycloalkyl; Rb = H, alkyl, (un)substituted alkylaryl, alkylheteroaryl; or RaNRb = (un)substituted heterocyclyl; and their pharmaceutically acceptable salts] were prepared for use as 5HT6 receptor antagonists in treatment of CNS disorders. Thus, II●HCl was prepared by oxidation of 8-chloro-3-quinolinethiol (preparation given), oxidative cleavage of

disulfide, amination of the chloride with 1,1-dimethylethyl 1-piperazinecarboxylate and Boc-deprotection. I were tested and showed good affinity for the 5-HT6 receptor, having pKi values \geq 7.5 at human cloned 5-HT6 receptors.

IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of piperazinylquinolines for treatment of CNS
 disorders)

RN 847727-11-1 CAPLUS

CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-,

monohydrochloride (9CI) (CA INDEX NAME)

● HCl

847727-12-2P, 3-[(5-Fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-(1-piperazinyl) quinoline monohydrochloride 847727-13-3P, 8-(1-Piperazinyl)-3-[(1-piperidinyl)sulfonyl]quinoline monohydrochloride 847727-14-4P, 3-(Morpholin-4-ylsulfonyl)-8-(1-4-ylsulfonyl)piperazinyl) quinoline monohydrochloride 847727-15-5P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(4-methyl-1-yl)sulfonyl]piperazinyl)quinoline monohydrochloride 847727-16-6P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline 847727-17-7P, 3-[(5-Fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-(1-piperazinyl)quinoline 847727-18-8P, 8-(1-Piperazinyl)-3-[(1piperidinyl)sulfonyl]quinoline 847727-19-9P, 3-(Morpholin-4-ylsulfonyl)-8-(1-piperazinyl)quinoline 847727-20-2P , 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(4-methyl-1-yl)sulfonyl]piperazinyl) quinoline RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders) 847727-12-2 CAPLUS RN 1H-Isoindole, 5-fluoro-2,3-dihydro-2-[[8-(1-piperazinyl)-3-CN quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 847727-13-3 CAPLUS
CN Piperidine, 1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 847727-14-4 CAPLUS
CN Morpholine, 4-[[8-(1-piperaziny1)-3-quinoliny1]sulfony1]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 847727-15-5 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(4-methyl-1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 847727-16-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI)
(CA INDEX NAME)

RN 847727-17-7 CAPLUS

CN 1H-Isoindole, 5-fluoro-2,3-dihydro-2-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 847727-18-8 CAPLUS

CN Piperidine, 1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 847727-19-9 CAPLUS

CN Morpholine, 4-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 847727-20-2 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(4-methyl-1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

847727-30-4P, 1,1-Dimethylethyl 4-[3-[(2,3-dihydro-1H-indol-1yl)sulfonyl]-8-quinolinyl]-1-piperazinecarboxylate 847727-31-5P, 1,1-Dimethylethyl 4-[3-[(5-fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-indol-2-yl]-8-indol-2-yl]-8quinolinyl]-1-piperazinecarboxylate 847727-32-6P, 1,1-Dimethylethyl 4-[3-(1-piperidinylsulfonyl)-8-quinolinyl]-1piperazinecarboxylate 847727-33-7P, 1,1-Dimethylethyl 4-[3-(4-morpholinylsulfonyl)-8-quinolinyl]-1-piperazinecarboxylate RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of piperazinylquinolines for treatment of CNS disorders) RN 847727-30-4 CAPLUS 1-Piperazinecarboxylic acid, 4-[3-[(2,3-dihydro-1H-indol-1-yl)sulfonyl]-8-CN quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 847727-31-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)sulfonyl]-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 847727-32-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(1-piperidinylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 847727-33-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(4-morpholinylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:777791 CAPLUS

DN 139:292272

 ${\tt TI}$ Preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6 antagonists

IN Johnson, Christopher Norbert; MacDonald, Gregor James; Mitchell, Darren
Jason; Moss, Stephen Frederick; Thompson, Mervyn; Witty, David

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 30 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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20040205
     WO 2003080608
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     WO 2003-EP3195
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                          W
OS
     MARPAT 139:292272
GΙ
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$$(R^2)_m$$

$$(CH_2)_p$$

$$QSO_2A \qquad I$$

$$O_2SPh \qquad II$$

Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)1-4; Q =AΒ (un) substituted quinolinyl, pyrrolopyridinyl; A = (un) substituted aryl; m = 1-4; p = 1, 2] were prepared for use as 5-HT6 antagonists in the treatment of CNS and other disorders. Thus, 3-chloro-4-nitropyridine was treated with 1-tert.-butoxycarbonylpiperazine, cyclized with CH2:CHMqBr to 7-tert.-butoxycarbonylpiperazin-1-yl-1H-pyrrolo[3,2-b]pyridine, which was treated with Ph2S2, oxidized to the sulfone. and deblocked to give the title compound II.

608142-86-5P 608142-88-7P ΙT RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylsulfonylquinolinyl- of azaindolylpiperazines as 5-HT6

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antagonists)
RN 608142-86-5 CAPLUS
CN Quinoline, 4-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)
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RN 608142-88-7 CAPLUS CN Quinoline, 2-methyl-4-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

RN 608142-89-8 CAPLUS
CN Quinoline, 2-methyl-4-(phenylsulfonyl)-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 608142-90-1 CAPLUS
CN Quinoline, 4-[(2-fluorophenyl)sulfonyl]-2-methyl-8-(1-piperazinyl)- (CA INDEX NAME)

RN 608142-91-2 CAPLUS
CN Quinoline, 4-[(3-fluorophenyl)sulfonyl]-2-methyl-8-(1-piperazinyl)- (CA INDEX NAME)

RN 608142-92-3 CAPLUS
CN Quinoline, 4-[(3-chlorophenyl)sulfonyl]-2-methyl-8-(1-piperazinyl)- (CA INDEX NAME)

RN 608143-10-8 CAPLUS
CN Piperazine, 1-[2-methyl-4-(phenylsulfonyl)-8-quinolinyl]-4(trifluoroacetyl)- (9CI) (CA INDEX NAME)

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L18 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
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AN 2003:777764 CAPLUS

DN 139:292163

TI Preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders

IN Ahmed, Mahmood; Johnson, Christopher Norbert; Jones, Martin C.; MacDonald, Gregor James; Moss, Stephen Frederick; Thompson, Mervyn; Wade, Charles Edward; Witty, David

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.					KIND DATE		APPLICATION NO.						DATE				
PI		2003080580 2003080580						WO 2003-EP3197					20030325					
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		RW:	UA, GH, KG, FI,	UG, GM, KZ, FR,	US, KE, MD, GB,	UZ, LS, RU, GR,	VC, MW, TJ, HU,	SD, VN, MZ, TM, IE, CM,	YU, SD, AT, IT,	ZA, SL, BE, LU,	ZM, SZ, BG, MC,	ZW TZ, CH, NL,	UG, CY, PT,	ZM, CZ, RO,	ZW, DE, SE,	AM, DK, SI,	AZ, EE, SK,	BY, ES, TR,
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	GB 2002-25678	A	20021104			
	WO 2003-EP3197	W	20030325			
OS	MARPAT 139:292163					
GT						

AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)2-4; R3-R5 = H, halogen, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, (un)substituted CONH2; A = (un)substituted aryl; m = 1-4; n = 1-3, p = 1, 2] were prepared for use as HT6 receptor antagonists in treatment of CNS disorders. Thus, 8-iodo-3-phenylsulfonylquinoline was prepared from 8-nitroquinoline and was treated with 1-tert.-butoxycarbonylpiperazine, followed by deblocking, to give 3-phenylsulfonyl-8-piperazinoquinoline.

IT 607743-10-2P 607743-11-3P 607743-43-1P

607743-44-2P 607743-45-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

RN 607743-10-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 607743-11-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[[3-(trifluoromethyl)phenyl]sulfonyl]-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 607743-43-1 CAPLUS

CN Quinoline, 3-[(2-chlorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607743-44-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-methyl-4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 607743-45-3 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 607742-55-2P 607742-69-8P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

RN 607742-55-2 CAPLUS

CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 607742-69-8 CAPLUS CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

607742-54-1P 607742-56-3P 607742-57-4P 607742-58-5P 607742-59-6P 607742-60-9P 607742-61-0P 607742-62-1P 607742-63-2P 607742-64-3P 607742-65-4P 607742-66-5P 607742-68-7P 607742-70-1P 607742-71-2P 607742-72-3P 607742-73-4P 607742-74-5P 607742-75-6P 607742-76-7P 607742-77-8P 607742-78-9P 607742-79-0P 607742-80-3P 607742-81-4P 607742-82-5P 607742-83-6P 607742-84-7P 607742-85-8P 607742-86-9P 607742-87-0P 607742-88-1P 607742-89-2P 607742-90-5P 607742-92-7P 607742-93-8P 607742-94-9P 607742-95-0P 607742-96-1P 607742-97-2P 607742-98-3P 607742-99-4P 607743-00-0P 607743-01-1P 607743-02-2P 607743-03-3P 607743-04-4P 607743-42-0P 607743-46-4P 607743-47-5P 607743-48-6P 607743-49-7P 607743-50-0P 607743-51-1P 607743-52-2P 607743-53-3P 607743-54-4P 607743-55-5P 607743-56-6P 607743-58-8P

10/571405

● HCl

RN 607742-58-5 CAPLUS

CN Quinoline, 3-[(2-fluorophenyl)sulfonyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-59-6 CAPLUS

CN Quinoline, 3-[(4-chlorophenyl)sulfonyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 607742-60-9 CAPLUS
CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-61-0 CAPLUS
CN Quinoline, 3-[[4-bromo-2-(trifluoromethoxy)phenyl]sulfonyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 607742-62-1 CAPLUS
CN Quinoline, 8-(1-piperaziny1)-3-[[3-(trifluoromethy1)pheny1]sulfony1]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-64-3 CAPLUS CN Quinoline, 6-methyl-3-(phenylsulfonyl)-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-65-4 CAPLUS
CN Quinoline, 8-[(3R)-3-methyl-1-piperazinyl]-3-(phenylsulfonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 607742-70-1 CAPLUS
CN Quinoline, 8-(4-ethyl-1-piperazinyl)-3-(phenylsulfonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 607742-71-2 CAPLUS
CN Quinoline, 3-[(2-methylphenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607742-72-3 CAPLUS

CN Quinoline, 3-[(2-methoxyphenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607742-73-4 CAPLUS

CN Quinoline, 3-[(4-methylphenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607742-74-5 CAPLUS

CN Quinoline, 3-[(4-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607742-75-6 CAPLUS
CN Quinoline, 8-(1-piperazinyl)-3-[[2-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)

RN 607742-76-7 CAPLUS
CN Quinoline, 3-[(2-methylphenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607742-77-8 CAPLUS
CN Quinoline, 3-[(2-methoxyphenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607742-78-9 CAPLUS
CN Quinoline, 3-[(4-methylphenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

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CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607742-81-4 CAPLUS
CN Quinoline, 3-[(2-fluorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607742-82-5 CAPLUS
CN Quinoline, 3-[(4-chlorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 607742-83-6 CAPLUS
CN Quinoline, 3-[(3-chlorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

10/571405

RN 607742-84-7 CAPLUS
CN Quinoline, 8-(4-methyl-1-piperazinyl)-3-[[2-(trifluoromethyl)phenyl]sulfon yl]- (CA INDEX NAME)

RN 607742-85-8 CAPLUS
CN Quinoline, 3-[(2-methylphenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl](CA INDEX NAME)

Absolute stereochemistry.

RN 607742-86-9 CAPLUS

CN Quinoline, 3-[(2-methoxyphenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 607742-87-0 CAPLUS

CN Quinoline, 3-[(4-methylphenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 607742-88-1 CAPLUS

CN Quinoline, 3-[(4-fluorophenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl]- (CA INDEX NAME)

RN 607742-89-2 CAPLUS
CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl](CA INDEX NAME)

Absolute stereochemistry.

RN 607742-90-5 CAPLUS
CN Quinoline, 3-[(2-fluorophenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl](CA INDEX NAME)

607742-92-7 CAPLUS RN

Quinoline, 3-[(4-chlorophenyl) sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl]-CN (CA INDEX NAME)

Absolute stereochemistry.

RN

607742-93-8 CAPLUS Quinoline, 3-[(3-chlorophenyl)sulfonyl]-8-[(3S)-3-methyl-1-piperazinyl]-CN (CA INDEX NAME)

RN 607742-94-9 CAPLUS
CN Quinoline, 8-[(3S)-3-methyl-1-piperazinyl]-3-[[2-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 607742-95-0 CAPLUS
CN Quinoline, 8-[(2R)-2-methyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607742-96-1 CAPLUS
CN Quinoline, 8-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-3-(phenylsulfonyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 607742-97-2 CAPLUS
CN Quinoline, 8-(3,3-dimethyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607742-98-3 CAPLUS

CN Quinoline, 8-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607742-99-4 CAPLUS
CN Quinoline, 8-[4-(1-methylethyl)-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607743-00-0 CAPLUS
CN Quinoline, 8-[4-(2-methylpropyl)-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607743-01-1 CAPLUS

CN Quinoline, 8-[4-(2,2-dimethylpropyl)-1-piperazinyl]-3-(phenylsulfonyl)-(CA INDEX NAME)

RN 607743-02-2 CAPLUS
CN Quinoline, 8-[(3R)-3,4-dimethyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 607743-03-3 CAPLUS
CN Quinoline, 8-[(3S)-3,4-dimethyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

10/571405

RN 607743-04-4 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[3-(phenylsulfonyl)-8-quinolinyl]-, monohydrochloride, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 607743-42-0 CAPLUS

CN Quinoline, 8-(4-methyl-1-piperazinyl)-3-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

10/571405

● HCl

RN 607743-46-4 CAPLUS

CN Quinoline, 3-[(2-chlorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-47-5 CAPLUS

CN Quinoline, 3-[(3-chlorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-48-6 CAPLUS

CN Quinoline, 3-[(2-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-49-7 CAPLUS

CN Quinoline, 3-[(4-chlorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-50-0 CAPLUS

CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-51-1 CAPLUS

CN Quinoline, 3-[[4-bromo-2-(trifluoromethoxy)phenyl]sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-52-2 CAPLUS
CN Quinoline, 8-(1-piperazinyl)-3-[[3-(trifluoromethyl)phenyl]sulfonyl]- (CA INDEX NAME)

RN 607743-53-3 CAPLUS CN Quinoline, 7-chloro-3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-54-4 CAPLUS CN Quinoline, 6-methyl-3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

RN 607743-55-5 CAPLUS
CN Quinoline, 8-[(3R)-3-methyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 607743-56-6 CAPLUS CN Quinoline, 8-[(3S)-3-methyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry.}$

10/571405

RN 607743-58-8 CAPLUS

CN Quinoline, 8-[(2S)-2-methyl-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

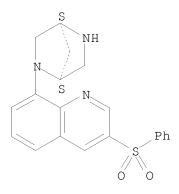
Absolute stereochemistry.

RN 607743-59-9 CAPLUS

CN Quinoline, 8-(4-ethyl-1-piperazinyl)-3-(phenylsulfonyl)- (CA INDEX NAME)

RN 607743-60-2 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[3-(phenylsulfonyl)-8-quinolinyl]-, (1S,4S)- (CA INDEX NAME)



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